

Hungarian Patent No. 220 630 B1

Application No. P 99 02543

Filing date: 21st December, 1990

Convention priorities: 22nd December, 1989 (US; 07/455,201)

21st December, 1990 (US, 8407/90)

Modification priority: 1st July, 1994

Date of publication: 28th June, 1991

Patentee: The Wellcome Foundation Ltd., London, GB

Inventor: Daluge, Susan Mary, Chapel Hill, North Carolina, US

Title: New pyrimidinyl derivatives and a process for the preparation thereof

=====

Translation of the set of claims

1. Enantiomeric compounds of formula (Q), wherein either

R<sup>A</sup> is hydrogen or formyl,

R<sup>B</sup> is hydrogen,

R<sup>3</sup> is isobutyroyl,

R<sup>4</sup> is -NHA, wherein A is (1S,4R)- or (1R,4S)-2-cyclopentene-1-methanol-4-yl,

W is absent,

the bond indicated as --- is a double bond, and

Y is halo;

or

R<sup>A</sup> is formyl,

R<sup>B</sup> is hydrogen,

R<sup>3</sup> is isobutyroyl,

R<sup>4</sup> is halo,

W is absent,

the bond indicated as --- is a double bond, and

Y is halo;

or

R<sup>A</sup> and R<sup>B</sup> both stand for O,

R<sup>3</sup> is isobutyroyl,

R<sup>4</sup> is halo,

W is absent,

the bond indicated as --- is a double bond, and

Y is halo;

or

R<sup>A</sup> and R<sup>B</sup> both stand for O,

R<sup>3</sup> is isobutyroyl,

R<sup>4</sup> is halo,

W is hydrogen,

the bond indicated as --- is a single bond, and

Y is oxo.

2. (1R,4S)-cis-N-{4-chloro-5-formamido-6-[(4-hydroxymethyl-2-cyclopenten-1-yl)-amino]-2-pyrimidinyl}-isobutyramide belonging to the compounds of formula (Q) as claimed in claim 1, which is essentially free of the respective (1S,4R)-enantiomer.

3. N-(4,6-dichloro-5-formamido-2-pyrimidinyl)-isobutyramide belonging to the compounds of formula (Q) as claimed in claim 1.

4. N-(4,6-dichloro-5-nitro-2-pyrimidinyl)-isobutyramide belonging to the compounds of formula (Q) as claimed in claim 1.

5. N-(4-chloro-1,6-dihydro-5-nitro-6-oxo-2-pyrimidinyl)-isobutyramide belonging to the compounds of formula (Q) as claimed in claim 1.

6. A process for the preparation of an enantiomeric compound of formula (Q)

wherein

$R^A$  is formyl,

$R^B$  is hydrogen,

$R^3$  is isobutyroyl,

$R^4$  is -NHA, wherein A is (1S,4R)- or (1R,4S)-2-cyclopentene-1-methanol-4-yl,

W is absent,

the bond indicated as ---- is a double bond, and

Y is halo;

*characterised in that* a compound of formula (Q) wherein

$R^A$  is formyl,

$R^B$  is hydrogen,

$R^3$  is isobutyroyl,

$R^4$  is halo,

W is absent,

the bond indicated as ---- is a double bond, and

Y is halo

is reacted with an enantiomeric compound of formula (VIII A) or (VIII B).

7. A process for the preparation of an enantiomeric compound of formula (Q)

wherein

$R^A$  is formyl,

$R^B$  is hydrogen,

$R^3$  is isobutyroyl,

$R^4$  is halo,

W is absent,

the bond indicated as ---- is a double bond, and

Y is halo;

*characterised in that* a compound of formula (Q) wherein

$R^A$  and  $R^B$  both stand for O,

$R^3$  is isobutyroyl,

$R^4$  is halo,

W is absent,

the bond indicated as ---- is a double bond, and

Y is halo

is reduced, and the amino group of the resulting compound is converted into a formamido group.